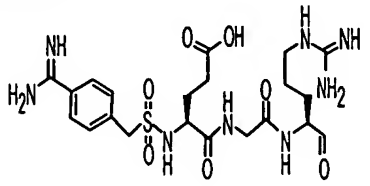
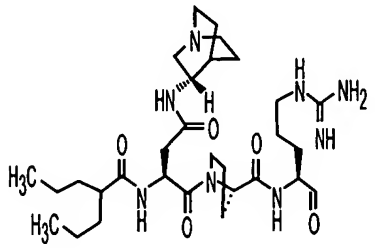
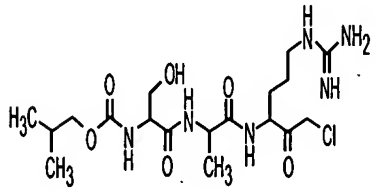
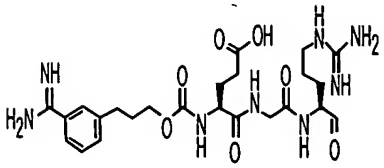
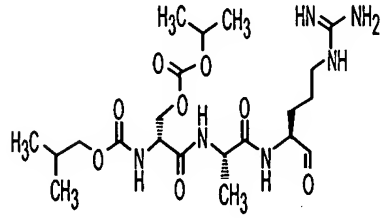
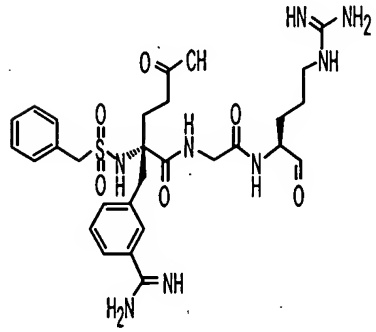
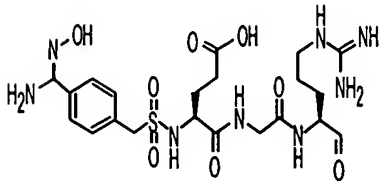
Compd #	MOLSTRUCTURE
1	
2	
3	
4	
5	
6	
7	

FIG. 1A

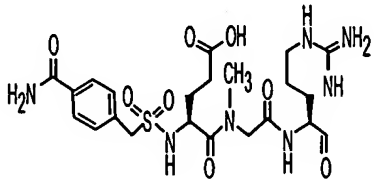
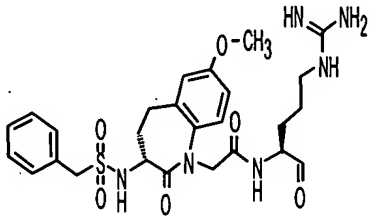
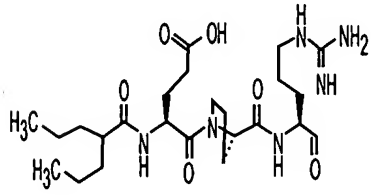
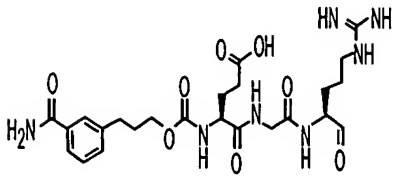
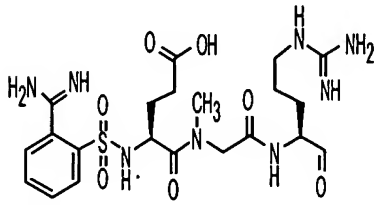
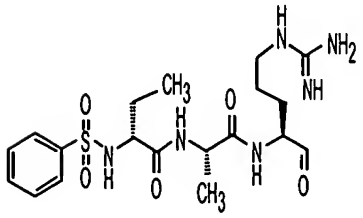
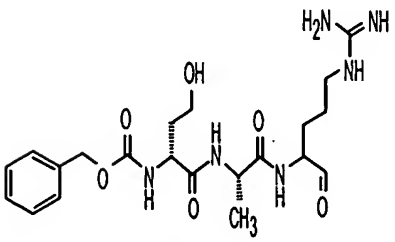
Compd #	MOLSTRUCTURE
8	
9	
10	
11	
12	
13	
14	

FIG. 1B

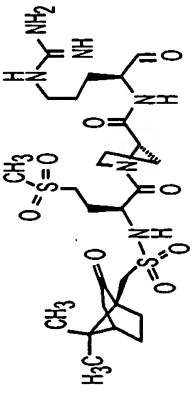
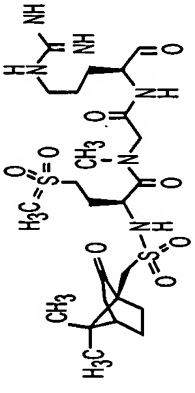
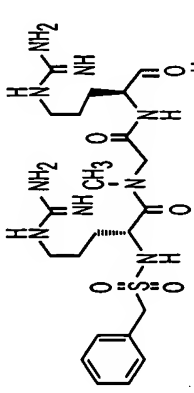
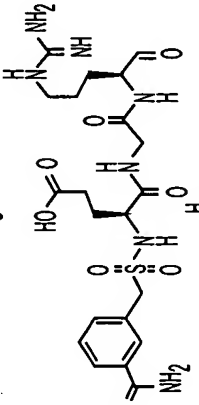
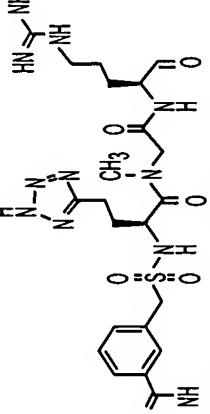
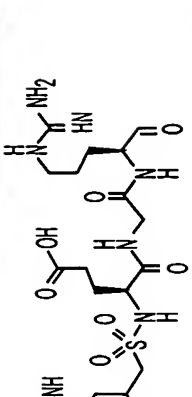
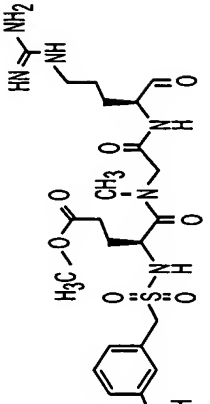
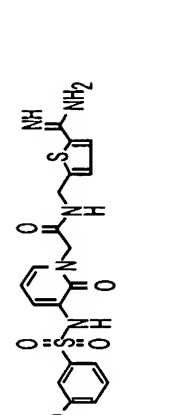
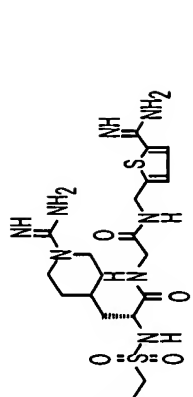
Compd #	MOLSTRUCTURE
15	
16	
17	
18	
19	
20	
21	
22	
23	

FIG. 1C

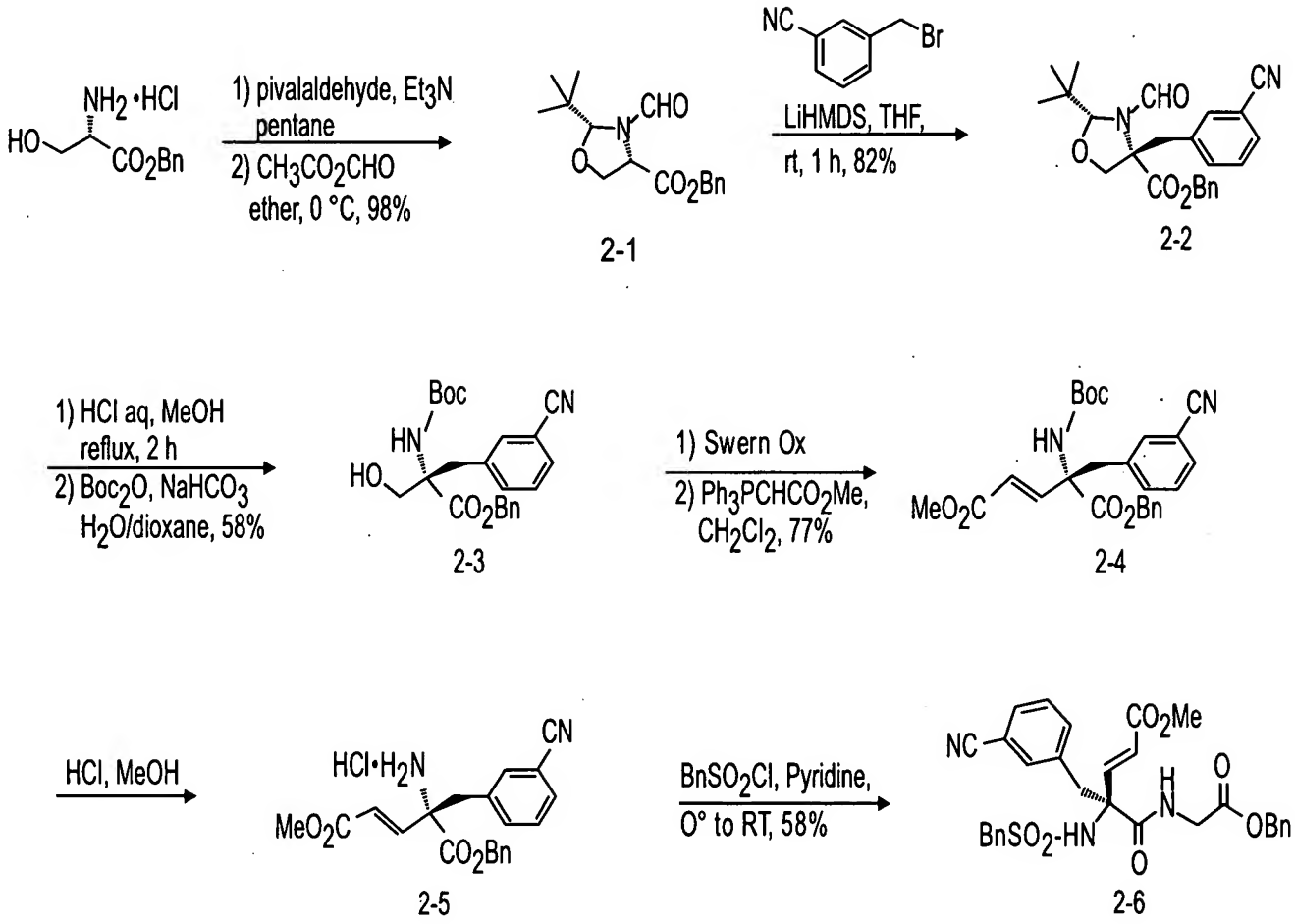

NH2 •HCl
HO
CO2Bn
1) pivalaldehyde, Et3N
pentane
2) CH3CO2CHO
ether, 0 °C, 98%
CHO
N
O
CO2Bn
2-1
NC
Br
LiHMDS, THF,
rt, 1 h, 82%
CN
2-2
1) HCl aq, MeOH
reflux, 2 h
2) Boc2O, NaHCO3
H2O/dioxane, 58%
Boc
HN
HO
2-3
1) Swern Ox
2) Ph3PCHCO2Me,
CH2Cl2, 77%
MeO2C
2-4
HCl, MeOH
HCl•H2N
2-5
BnSO2Cl, Pyridine,
0° to RT, 58%
CO2Me
BnSO2-HN
OBn
2-6

FIG. 2A

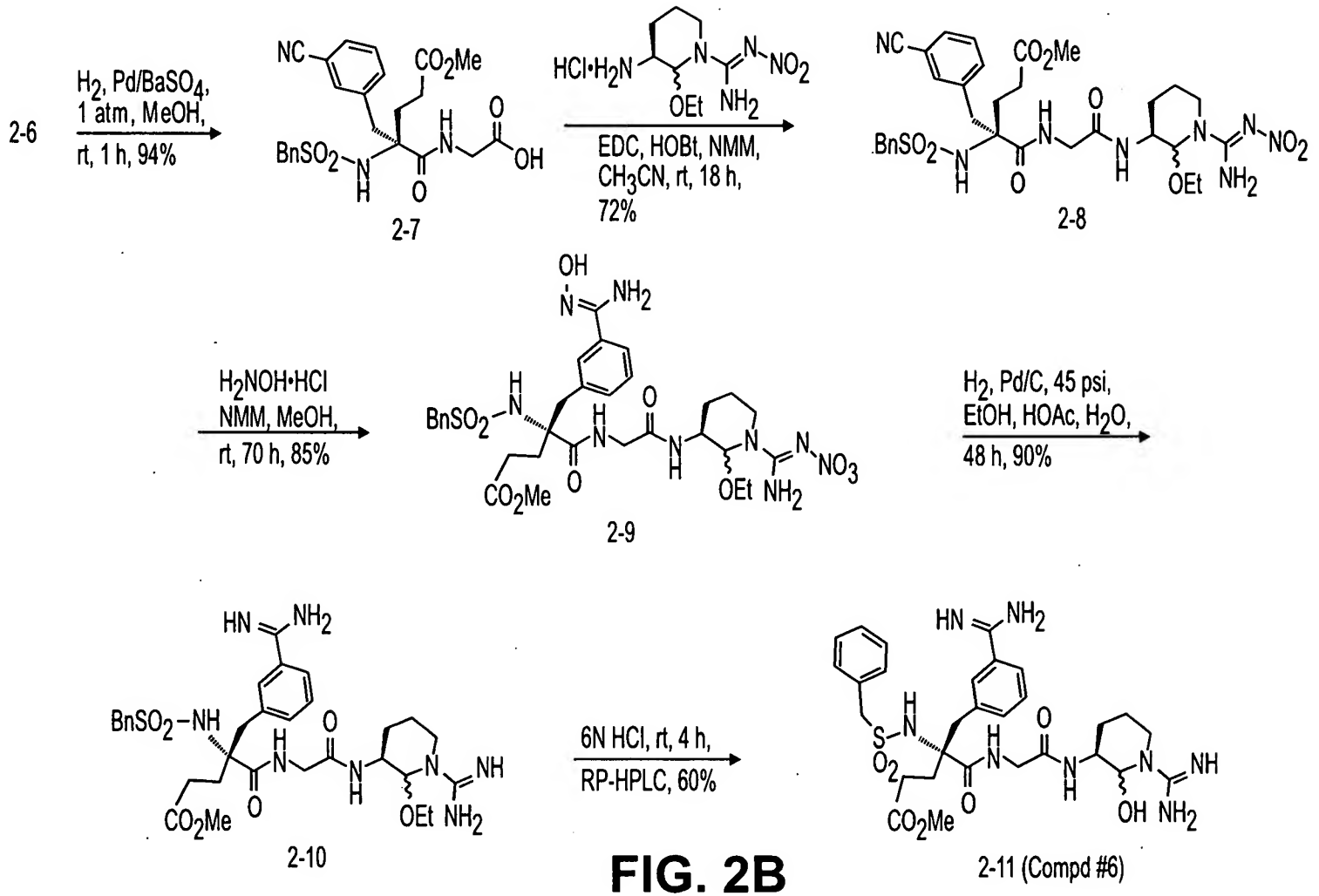

2-6
H2, Pd/BaSO4,
1 atm, MeOH,
rt, 1 h, 94%
2-7
HCl•H2N
EDC, HOBt, NMM,
CH3CN, rt, 18 h,
72%
2-8
H2NOH•HCl
NMM, MeOH,
rt, 70 h, 85%
2-9
H2, Pd/C, 45 psi,
EtOH, HOAc, H2O,
48 h, 90%
2-10
6N HCl, rt, 4 h,
RP-HPLC, 60%
2-11 (Compd #6)

FIG. 2B

```
      10        20        30        40        50        60
GTTGTTGGGGGCACGGATGCGGATGAGGGCGAGTGGCCCTGGCAGGTAAGCCTGCATGCT
CAACAACCCCCGTGCCTACGCCTACTCCCGCTCACCGGGACCGTCCATTCGGACGTACGA
 V  V  G  G  T  D  A  D  E  G  E  H  P  W  Q  V  S  L  H  A>
      70        80        90        100       110       120
CTGGGCCAGGGCCACATCTGCGGTGCTTCCCTCATCTCTCCCAACTGGCTGGTCTCTGCC
GACCCGGTCCCGGTGTAGACGCCACGAAGGGAGTAGAGAGGGTTGACCGACCAGAGACGG
 L  G  Q  G  H  I  C  G  A  S  L  I  S  P  N  W  L  V  S  A>
      130       140       150       160       170       180
GCACACTGCTACATCGATGACAGAGGATTCAGGTACTCAGACCCCACGCAGTGGACGGCC
CGTGTGACGATGTAGCTACTGTCTCCTAAGTCCATGAGTCTGGGGTGCGTCACCTGCCGG
 A  H  C  Y  I  D  D  R  G  F  R  Y  S  D  P  T  Q  W  T  A>
      190       200       210       220       230       240
TTCCTGGGCTTGCACGACCAGAGCCAGCGCAGCGCCCCTGGGGTGCAGGAGCGCAGGCTC
AAGGACCCGAACGTGCTGGTCTCGGTCGCGTCGCGGGGACCCCACGTCCTCGCGTCCGAG
 F  L  G  L  H  D  Q  S  Q  R  S  A  P  G  V  Q  E  R  R  L>
      250       260       270       280       290       300
AAGCGCATCATCTCCCACCCCTTCTTCAATGACTTCACCTTCGACTATGACATCGCGCTG
TTCGCGTAGTAGAGGGTGGGGAAGAAGTTACTGAAGTGGAAGCTGATACTGTAGCGCGAC
 K  R  I  I  S  H  P  F  F  N  D  F  T  F  D  Y  D  I  A  L>
      310       320       330       340       350       360
CTGGAGCTGGAGAAACCGGCAGAGTACAGCTCCATGGTGCGGCCCATCTGCCTGCCGGAC
GACCTCGACCTCTTTGGCCGTCTCATGTCGAGGTACCACGCCGGGTAGACGGACGGCCTG
 L  E  L  E  K  P  A  E  Y  S  S  M  V  R  P  I  C  L  P  D>
```

FIG. 3A

```
      370        380        390        400        410        420
GCCTCCCATGTCTTCCCTGCCGGCAAGGCCATCTGGGTCACGGGCTGGGGACACACCCAG
CGGAGGGTACAGAAGGGACGGCCGTTCCGGTAGACCCAGTGCCCGACCCCTGTGTGGGTC
 A  S  H  V  F  P  A  G  K  A  I  W  V  T  G  W  G  H  T  Q>

      430        440        450        460        470        480
TATGGAGGCACTGGCGCGCTGATCCTGCAAAAGGGTGAGATCCGCGTCATCAACCAGACC
ATACCTCCGTGACCGCGCGACTAGGACGTTTTCCCACTCTAGGCGCAGTAGTTGGTCTGG
 Y  G  G  T  G  A  L  I  L  Q  K  G  E  I  R  V  I  N  Q  T>

      490        500        510        520        530        540
ACCTGCGAGAACCTCCTGCCGCAGCAGATCACGCCGCGCATGATGTGCGTGGGCTTCCTC
TGGACGCTCTTGGAGGACGGCGTCGTCTAGTGCGGCGCGTACTACACGCACCCGAAGGAG
 T  C  E  N  L  L  P  Q  Q  I  T  P  R  M  M  C  V  G  F  L>

      550        560        570        580        590        600
AGCGGCGGCGTGGACTCCTGCCAGGGTGATTCCGGGGGACCCCTGTCCAGCGTGGAGGCG
TCGCCGCCGCACCTGAGGACGGTCCCACTAAGGCCCCCTGGGGACAGGTCGCACCTCCGC
 S  G  G  V  D  S  C  Q  G  D  S  G  G  P  L  S  S  V  E  A>

      610        620        630        640        650        660
GATGGGCGGATCTTCCAGGCCGGTGTGGTGAGCTGGGGAGACGGCTGCGCTCAGAGGAAC
CTACCCGCCTAGAAGGTCCGGCCACACCACTCGACCCCTCTGCCGACGCGAGTCTCCTTG
 D  G  R  I  F  Q  A  G  V  V  S  W  G  D  G  C  A  Q  R  N>

      670        680        690        700        710        720
AAGCCAGGCGTGTACACAAGGCTCCCTCTGTTTCGGGACTGGATCAAAGAGAACACTGGG
TTCGGTCCGCACATGTGTTCCGAGGGAGACAAAGCCCTGACCTAGTTTCTCTTGTGACCC
 K  P  G  V  Y  T  R  L  P  L  F  R  D  W  I  K  E  N  T  G>
```

FIG. 3B

GTATAG

CATATC

V *>

FIG. 3C

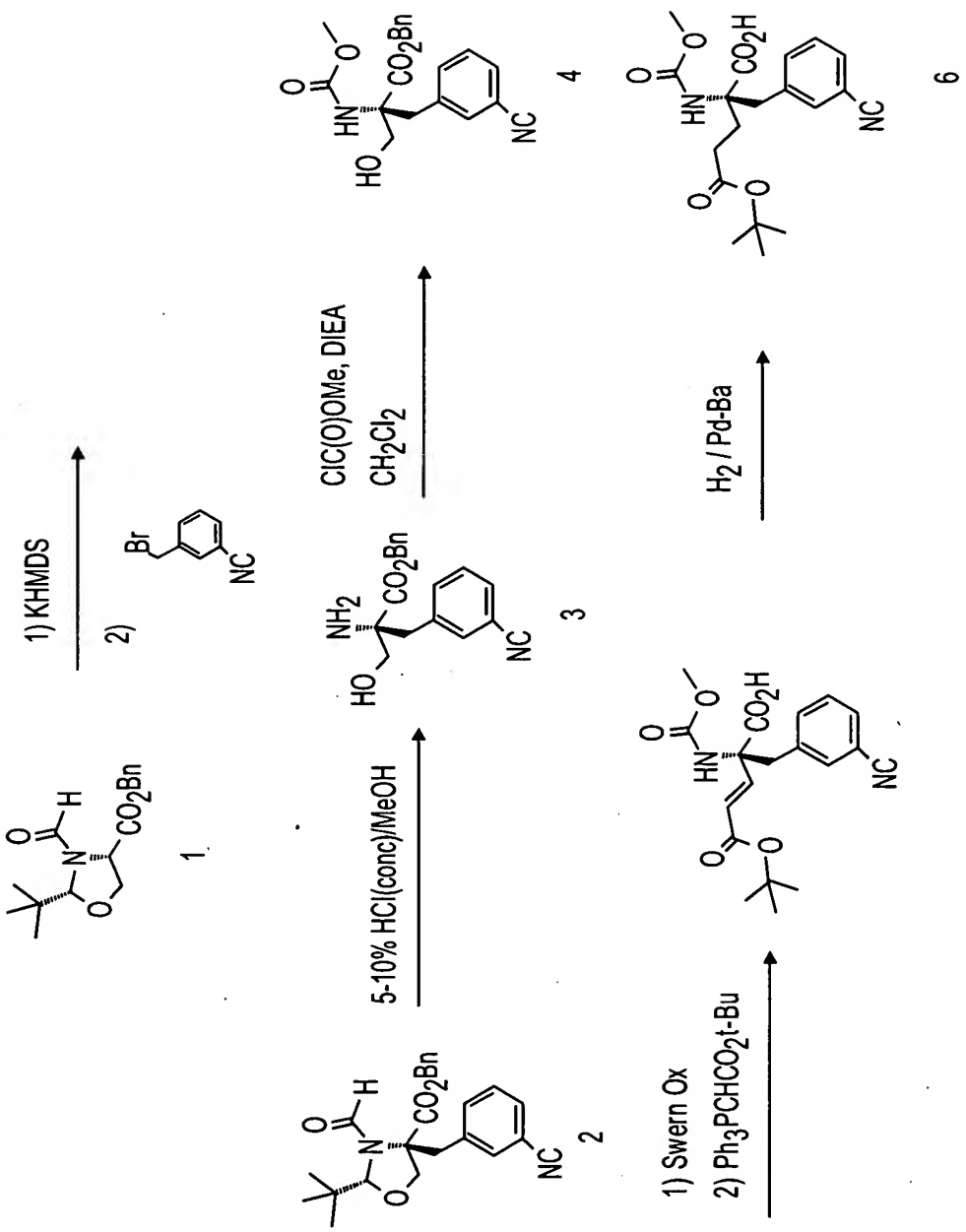

1) KHMDS
2)
Br
NC
1
CO2Bn
ClC(O)OMe, DIEA
CH2Cl2
4
HO
HN
O
CO2Bn
NC
NH2
3
5-10% HCl(conc)/MeOH
2
H2 / Pd-Ba
6
CO2H
1) Swern Ox
2) Ph3PCHCO2t-Bu
5

FIG. 4A

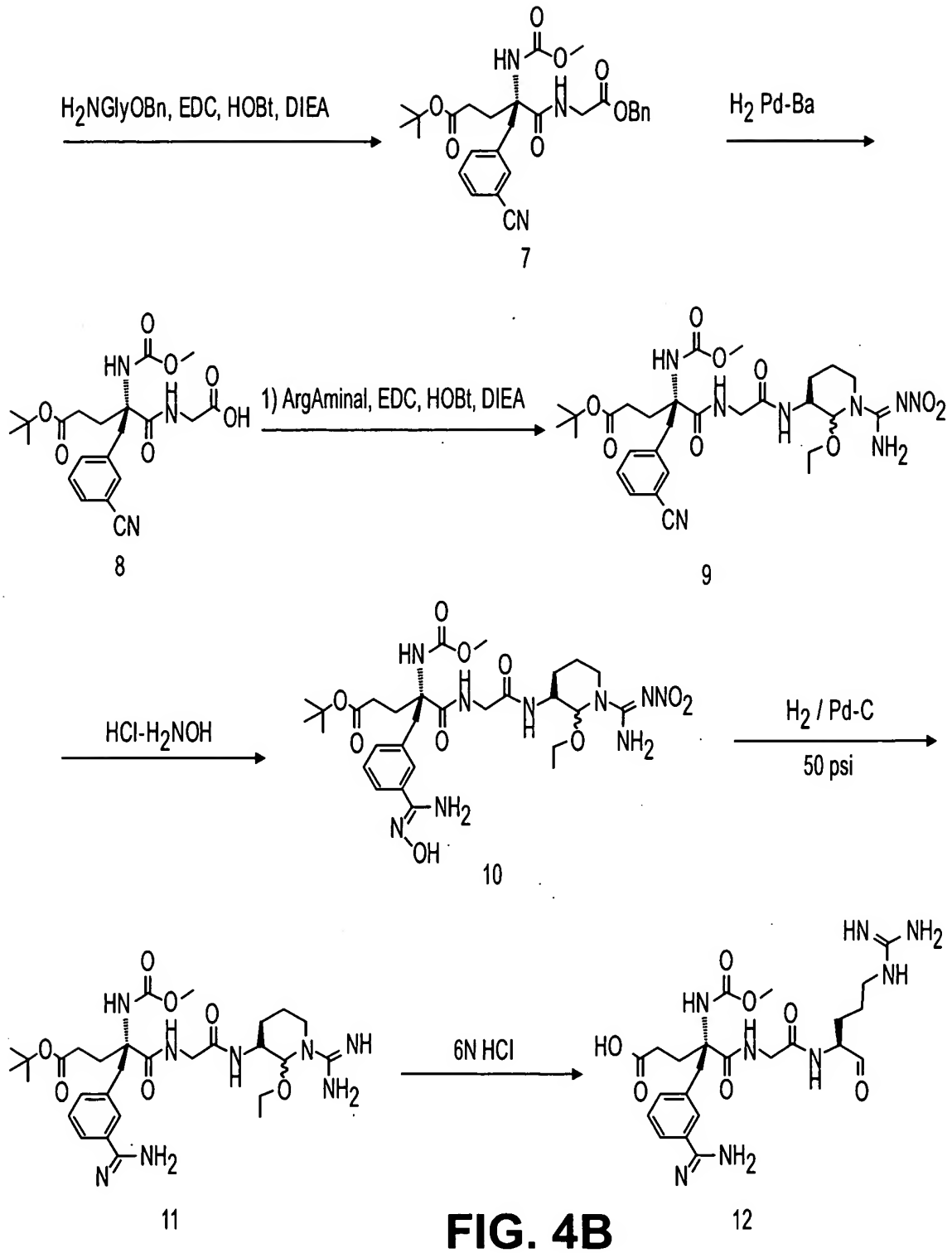

H2NGlyOBn, EDC, HOBt, DIEA
H2 Pd-Ba
7
1) ArgAminal, EDC, HOBt, DIEA
8
9
HCl-H2NOH
10
H2 / Pd-C
50 psi
6N HCl
11
12

FIG. 4B

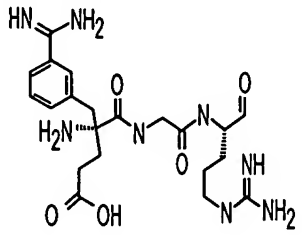
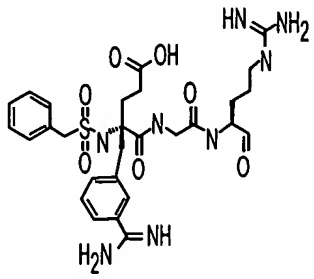
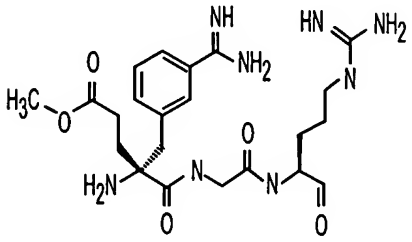
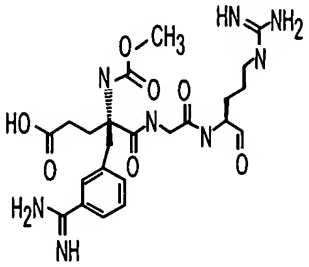
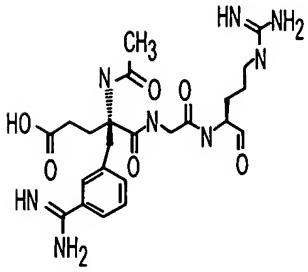
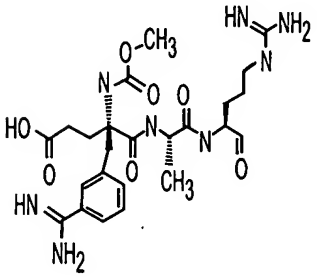
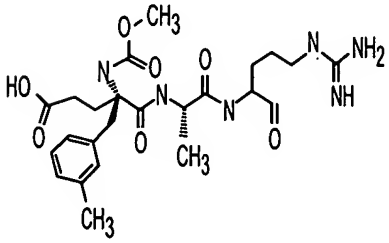
Compound	Structure
A	
B	
C	
D	
E	
F	
G	

FIG. 5A

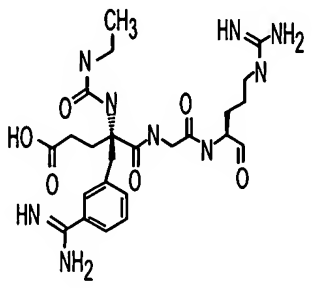
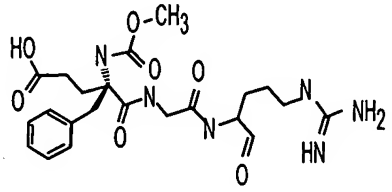
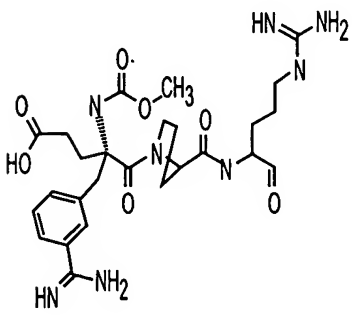
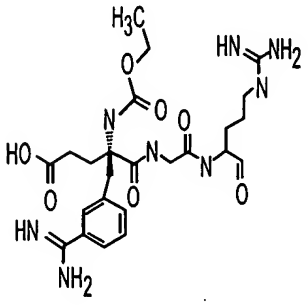
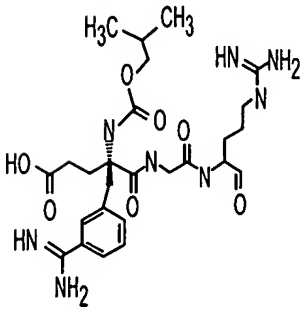
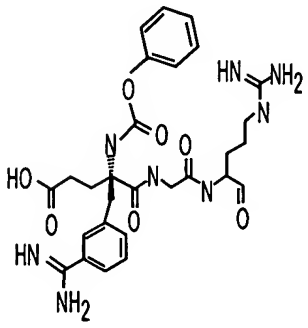
Compound	Structure	Compound	Structure
H		I	
J		K	
L		M	

FIG. 5B